

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
22 February 2001 (22.02.2001)

PCT

(10) International Publication Number
WO 01/12188 A1

(51) International Patent Classification⁷: **A61K 31/415**,
31/496, C07D 231/40, 403/12

[US/US]; 7600 Curry Lane, Portage, MI 49024 (US).
PIERCE, Betsy, S. [US/US]; 4353 Sweet Cherry Lane,
Kalamazoo, MI 49004 (US).

(21) International Application Number: PCT/US00/17878

(22) International Filing Date: 11 August 2000 (11.08.2000)

(74) Agents: **OBLON, Norman, F.** et al.; Oblon, Spivak, Mc-
Clelland, Maier & Neustadt, P.C., Crystal Square Five, 4th
floor, 1755 Jefferson Davis Highway, Arlington, VA 22202
(US).

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09/372,833 12 August 1999 (12.08.1999) US

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(71) Applicants (*for all designated States except US*): **PHAR-
MACIA & UPJOHN S.P.A.** [IT/IT]; Via Robert Koch,
1.2, I-20152 Milano (IT). **PHARMACIA & UPJOHN
COMPANY** [US/US]; 301 Henrietta Street, Kalamazoo,
MI 49001 (US).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

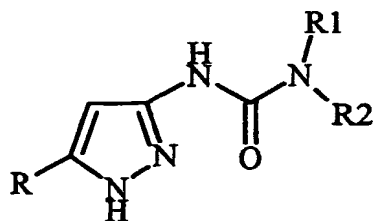
(75) Inventors/Applicants (*for US only*): **PEVARELLO,**
Paolo [IT/IT]; Pizza San Pietro in Ciel d'Oro, 7/A, I-27100
Pavia (IT). **ORSINI, Paolo** [IT/IT]; Via Don Reina, 7,
I-21013 Gallarate (IT). **TRAQUANDI, Gabriella** [IT/IT];
Via F. Cilea, 106, I-20151 Milan (IT). **VARASI, Mario**
[IT/IT]; Via Moncucco, 24/a, I-20142 Milan (IT).
FRITZEN, Edward, L. [US/US]; 4075 Prestwick Drive,
Portage, MI 49024 (US). **WARPEHOSKI, Martha, A.**

Published:

— With international search report.

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: 3(5)-UREIDO-PYRAZOLE DERIVATIVES, PROCESS FOR THEIR PREPARATION AND THEIR USE AS ANTI-TUMOR AGENTS



(I)

(57) Abstract: 3-ureido-pyrazole derivatives represented by formula (I): where R, R₁ and R₂ are as described herein, or pharmaceutically acceptable salts thereof; are useful, for example, for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases.

WO 01/12188 A1

206050" 22264001